

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date  
10 June 2004 (10.06.2004)

PCT

(10) International Publication Number  
**WO 2004/048328 A3**

(51) International Patent Classification<sup>7</sup>: **C07D 209/36, A61K 31/429**

Serene Chambers, Road No.7, Banjara Hills, Hyderabad 500 034, Andhra Pradesh (IN).

(21) International Application Number:  
**PCT/IN2003/000370**

(74) Agents: **SUBRAMANIAM, Hariharan et al.**; Subramanian, Nataraj & Associates, E-556 Greater Kailash II, New Delhi 110 048 (IN).

(22) International Filing Date:  
25 November 2003 (25.11.2003)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English

(84) Designated States (*regional*): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(26) Publication Language: English

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(30) Priority Data:  
883/MAS/2002 28 November 2002 (28.11.2002) IN

(88) Date of publication of the international search report:  
28 October 2004

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

WO 2004/048328 A3

(54) Title: N-ARYLSULFONYL-3-AMINOALKYOXYINDOLES

(57) Abstract: The present invention describes substituted 3-Aminoalkoxyindoles, compounds of the general formula (I), its stereoisomers, its radioisotopes, its geometric forms, its N-oxides, its polymorphs, its pharmaceutically acceptable salts, its pharmaceutically acceptable solvates, its useful bio-active metabolites and any suitable combination of the above. The invention also discloses the processes for preparing such compounds of the general formula (I), its stereoisomers, its radioisotopes, its geometric forms, its N-oxides, its polymorphs, its pharmaceutically acceptable salts, its pharmaceutically acceptable solvates, its useful bio-active metabolites and also includes any suitable combination of the above. Further described are various methods of administering these compounds of general formula (I), i.e. pharmaceutically acceptable dosage forms, their composition and their use in either therapy or diagnosis.

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/IN 03/00370

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 C07D209/36 A61K31/429

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, BEILSTEIN Data, PAJ, WPI Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; ICHIKAWA, HIDEJI ET AL: "3-(Amino acid-substituted)indoles for esterase and protease analysis" XP002276208 retrieved from STN Database accession no. 111:233670 see RN 123741-97-9 abstract & JP 01 139564 A (TERUMO CORP., JAPAN) 1 June 1989 (1989-06-01) ----- E WO 2004/000849 A (BATTULA SRINIVASA REDDY ;JASTI VENKATESWARLU (IN); KAMBHAMPATI RAM) 31 December 2003 (2003-12-31) the whole document ----- -/-	1,2
		1-19

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

## ° Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the International search

6 April 2004

Date of mailing of the International search report

21.09.04

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel: (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Zellner, A

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/IN 03/00370

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CROSSFIRE BEILSTEIN [Online] Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; XP002276209 Database accession no. 192292 (BRN) abstract ----- DE 20 24 966 A (NOVO TERAPEUTSIK LABOR AS) 3 December 1970 (1970-12-03) Formula (I), Formula (IX) -----	7
X	DATABASE CROSSFIRE BEILSTEIN [Online] Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; XP002276213 Database accession no. 6876050 (BRN) abstract -----	8,11,12
X	ANDERSEN, K.; ET AL.: J. MED. CHEM., vol. 39, 1996, pages 3723-3738, XP002276207 p. 3727, Scheme 2, compound 19a and 19b reacting with MsCl (reaction (c) (i)) -----	9
X		10

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/IN 03/00370

### Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:  
**Although claims 15-18 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition (as far as possible, see remarks above).**
2.  Claims Nos.: **1-19 (partly)** because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
**see FURTHER INFORMATION sheet PCT/ISA/210**
3.  Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

### Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

**see additional sheet**

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

**1-6, 13-19**

#### Remark on Protest

- The additional search fees were accompanied by the applicant's protest.  
 No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.1

Although claims 15-18 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition (as far as possible, see remarks above).

-----

Continuation of Box I.2

Claims Nos.: 1-19 (partly)

Present claims 1-19 relate to chemical compounds and to their useful metabolites and derivatives. These terms as well as the term protective group have no generally accepted meaning in chemistry and therefore a lack of clarity within the meaning of Art. 6 PCT arises. The lack of clarity rendered a meaningful search of the whole claims impossible. The search has thus been restricted to compounds as indicated by the specific formulae of the claims.

Claims 7-12 have furthermore not been completely searched since the application does not meet the requirements of unity.

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guideline C-VI, 8.5), should the problems which led to the Article 17(2) declaration be overcome.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-6,13-19

A compound of general formula (I), a pharmaceutical composition comprising it, a process for its preparation, its use and radiolabelled compounds thereof.

---

2. claim: 7

Compounds of formula (II)

---

3. claim: 8

Compounds of formula (IV)

---

4. claim: 9

Compounds of formula (VI)

---

5. claim: 10

Compounds of formula (VII)

---

6. claim: 11

Compounds of formula (IX)

---

7. claim: 12

Compounds of formula (X)

---

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/IN 03/00370

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
JP 1139564	A	01-06-1989	NONE		
WO 2004000849	A	31-12-2003	WO 2004000849 A2		31-12-2003
DE 2024966	A	03-12-1970	AT AT AT BE BE CA CH DE DE ES ES ES FR GB NL US US ZA	307410 B 312596 B 315830 B 750943 A1 793507 A4 966137 A1 556840 A 2024966 A1 2065321 A1 380057 A1 406767 A1 406768 A1 2051562 A1 1306230 A 7007646 A 3860608 A 3860609 A 7003363 A	25-05-1973 10-01-1974 10-06-1974 26-11-1970 16-04-1973 15-04-1975 13-12-1974 03-12-1970 24-05-1973 01-10-1975 01-10-1975 09-04-1971 07-02-1973 01-12-1970 14-01-1975 14-01-1975 29-12-1971